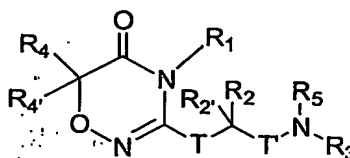


*What is claimed is:*

1. A compound selected from the group represented by Formula I:



Formula I

wherein:

T and T' are independently a covalent bond or optionally substituted lower alkylene;

R<sub>1</sub> is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl;

R<sub>2</sub> and R<sub>2'</sub> are independently chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl; or R<sub>2</sub> and R<sub>2'</sub> taken together form an optionally substituted 3- to 7-membered ring which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the ring;

R<sub>3</sub> is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, -C(O)-R<sub>6</sub>, and -S(O)<sub>2</sub>-R<sub>6a</sub>;

R<sub>4</sub> and R<sub>4'</sub> are independently chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl, or R<sub>4</sub> and R<sub>4'</sub> together with the carbon to which they are attached form an optionally substituted alkylidene;

R<sub>5</sub> is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and

optionally substituted heteroaralkyl;

or R<sub>5</sub> taken together with R<sub>3</sub>, and the nitrogen to which they are bound, form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring;

or R<sub>5</sub> taken together with R<sub>2</sub> form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring;

R<sub>6</sub> is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, optionally substituted heteroaralkyl, R<sub>7</sub>O- and R<sub>8</sub>-NH-;

R<sub>6a</sub> is chosen from optionally substituted alkyl, optionally substituted aryl, optionally substituted alkylaryl, optionally substituted heteroaryl, optionally substituted alkylheteroaryl, and R<sub>8</sub>-NH-;

R<sub>7</sub> is chosen from optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl; and

R<sub>8</sub> is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl;

a pharmaceutically acceptable salt of a compound of Formula I;  
a pharmaceutically acceptable solvate of a compound of Formula I; or  
a pharmaceutically acceptable solvate of a pharmaceutically acceptable salt of a compound of Formula I.

2. A compound of claim 1 comprising one or more of the following:

one of T and T' is a covalent bond and the other is a covalent bond or optionally substituted lower alkylene;

R<sub>1</sub> is optionally substituted lower alkyl, optionally substituted aryl, or optionally substituted aralkyl;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>2</sub>' is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> is -C(O)R<sub>6</sub>;

R<sub>4</sub> and R<sub>4</sub>' are independently chosen from hydrogen and optionally substituted lower alkyl;

R<sub>6</sub> is chosen from optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl, optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl, optionally substituted aryl, R<sub>7</sub>O- and R<sub>8</sub>-NH-;

R<sub>7</sub> is optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl or optionally substituted aryl;

R<sub>8</sub> is chosen from hydrogen, optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl and optionally substituted aryl;

R<sub>5</sub> is chosen from hydrogen; C<sub>1</sub>-C<sub>4</sub> alkyl; cyclohexyl; phenyl substituted with hydroxyl, C<sub>1</sub>-C<sub>4</sub> alkoxy or C<sub>1</sub>-C<sub>4</sub> alkyl; benzyl; and R<sub>16</sub>-alkylene-; and

R<sub>16</sub> is hydroxyl, carboxy, (C<sub>1</sub>-C<sub>4</sub> alkoxy)carbonyl-, di(C<sub>1</sub>-C<sub>4</sub> alkyl)amino-, (C<sub>1</sub>-C<sub>4</sub> alkyl)amino-, amino, (C<sub>1</sub>-C<sub>4</sub> alkoxy)carbonylamino-, C<sub>1</sub>-C<sub>4</sub> alkoxy-, or optionally substituted N-heterocyclyl-.

3. A compound of claim 2 comprising one or more of the following:

T and T' are each a covalent bond;

R<sub>1</sub> is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chlorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl;

R<sub>2</sub>' is hydrogen;

at least one of R<sub>4</sub> and R<sub>4</sub>' is hydrogen;

R<sub>6</sub> is optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl, optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl, or optionally substituted aryl;

R<sub>5</sub> is R<sub>16</sub>-alkylene-; and

R<sub>16</sub> is amino, C<sub>1</sub>-C<sub>4</sub> alkylamino-, di(C<sub>1</sub>-C<sub>4</sub> alkyl)amino-, C<sub>1</sub>-C<sub>4</sub> alkoxy-, hydroxyl, or N-heterocyclyl.

4. A compound of claim 3 comprising one or more of the following:

R<sub>1</sub> is chosen from ethyl, propyl, methoxyethyl, naphthyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, and (ethoxycarbonyl)ethyl;

R<sub>2</sub> is chosen from methyl, ethyl, propyl, butyl, methylthioethyl, methylthiomethyl, aminobutyl, (CBZ)aminobutyl, cyclohexylmethyl, benzyloxymethyl, methylsulfinylethyl, methylsulfinylmethyl, and hydroxymethyl;

R<sub>4</sub> and R<sub>4'</sub> are hydrogen;

R<sub>6</sub> is optionally substituted phenyl; and

R<sub>16</sub> is amino.

5. A compound of claim 4 comprising one or more of the following:

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is ethyl or propyl;

R<sub>6</sub> is tolyl, halophenyl, methylhalophenyl, hydroxymethyl-phenyl, halo(trifluoromethyl)phenyl-, methylenedioxyphenyl, formylphenyl or cyanophenyl; and

R<sub>5</sub> is aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, methylaminoethyl, methylaminopropyl, methylaminobutyl, methylaminopentyl, methylaminohexyl, dimethylaminoethyl, dimethylaminopropyl, dimethylaminobutyl, dimethylaminopentyl, dimethylaminohexyl, ethylaminoethyl, ethylaminopropyl, ethylaminobutyl, ethylaminopentyl, ethylaminohexyl, diethylaminoethyl, diethylaminopropyl, diethylaminobutyl, diethylaminopentyl, or diethylaminohexyl.

6. A compound of claim 5 comprising one or more of the following:

R<sub>1</sub> is benzyl; and

R<sub>2</sub> is i-propyl.

7. A compound of claim 1 comprising one or more of the following:  
one of T and T' is a covalent bond and the other is a covalent bond or optionally substituted lower alkylene;

R<sub>1</sub> is optionally substituted lower alkyl, optionally substituted aryl, or optionally substituted aralkyl;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>2</sub>' is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> is -C(O)R<sub>6</sub>;

R<sub>4</sub> and R<sub>4</sub>' together with the carbon to which they are attached form an optionally substituted alkylidene; and

R<sub>6</sub> is chosen from optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl, optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl, optionally substituted aryl, R<sub>7</sub>O- and R<sub>8</sub>-NH-; and

R<sub>7</sub> is optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl or optionally substituted aryl;

R<sub>8</sub> is chosen from hydrogen, optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl and optionally substituted aryl.

8. A compound of claim 7 comprising one or more of the following:

T and T' are each a covalent bond;

R<sub>1</sub> is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chlorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl;

R<sub>2</sub>' is hydrogen;

R<sub>4</sub> and R<sub>4</sub>' form an isopropylidene or an ethylidene group; and

R<sub>6</sub> is optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl, optionally substituted aryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl-C<sub>1</sub>-C<sub>4</sub>-alkyl-, optionally substituted heteroaryl, or

optionally substituted aryl.

9. A compound of claim 8 comprising one or more of the following:

R<sub>1</sub> is chosen from ethyl, propyl, methoxyethyl, naphthyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, and (ethoxycarbonyl)ethyl;

R<sub>2</sub> is chosen from methyl, ethyl, propyl, butyl, methylthioethyl, methylthiomethyl, aminobutyl, (CBZ)aminobutyl, cyclohexylmethyl, benzyloxymethyl, methylsulfinylethyl, methylsulfinylmethyl, and hydroxymethyl; and

R<sub>6</sub> is optionally substituted phenyl.

10. A compound of claim 9 comprising one or more of the following:

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is ethyl or propyl; and

R<sub>6</sub> is tolyl, halophenyl, methylhalophenyl, hydroxymethyl-phenyl, halo(trifluoromethyl)phenyl-, methylenedioxyphenyl, formylphenyl or cyanophenyl.

11. A compound of claim 10 comprising one or more of the following:

R<sub>1</sub> is benzyl; and

R<sub>2</sub> is i-propyl.

12. A compound of claim 1 comprising one or more of the following:

one of T and T' is a covalent bond and the other is a covalent bond or optionally substituted lower alkylene;

R<sub>1</sub> is optionally substituted lower alkyl, optionally substituted aryl, or optionally substituted aralkyl;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>2</sub>' is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an

optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring; and

$R_4$  and  $R_4'$  are independently selected from hydrogen and optionally substituted lower alkyl.

13. A compound of claim 12 comprising one or more of the following:

T and T' are each a covalent bond;

$R_1$  is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chlorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl;

$R_2$  is hydrogen;

at least one of  $R_4$  and  $R_4'$  is hydrogen; and

$R_3$  taken together with  $R_5$  and the nitrogen to which they are bound, forms an optionally substituted imidazolyl ring.

14. A compound of claim 12 comprising one or more of the following:

T and T' are each a covalent bond;

$R_1$  is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chlorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl;

$R_2$  is hydrogen;

at least one of  $R_4$  and  $R_4'$  is hydrogen; and

$R_3$  taken together with  $R_5$  and the nitrogen to which they are bound, forms an optionally substituted imidazolyl ring.

15. A compound of claim 12 comprising one or more of the following:  
T and T' are each a covalent bond;  
R<sub>1</sub> is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl;  
R<sub>2</sub> is hydrogen;  
at least one of R<sub>4</sub> and R<sub>4</sub>' is hydrogen; and  
R<sub>3</sub> taken together with R<sub>5</sub> and the nitrogen to which they are bound, forms an optionally substituted diazepinone ring.
16. A compound of claim 12 comprising one or more of the following:  
T and T' are each a covalent bond;  
R<sub>1</sub> is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl;  
R<sub>2</sub> is hydrogen;  
at least one of R<sub>4</sub> and R<sub>4</sub>' is hydrogen; and  
R<sub>3</sub> taken together with R<sub>5</sub> and the nitrogen to which they are bound, forms an optionally substituted piperazine- or diazepam ring.
17. A compound of any of claims 12 to 16 comprising one or more of the following:  
R<sub>1</sub> is chosen from ethyl, propyl, methoxyethyl, naphthyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, and (ethoxycarbonyl)ethyl;  
R<sub>2</sub> is chosen from methyl, ethyl, propyl, butyl, methylthioethyl, methylthiomethyl, aminobutyl, (CBZ)aminobutyl, cyclohexylmethyl, benzyloxymethyl, methylsulfinylethyl,



methylsulfinylmethyl, and hydroxymethyl; and

R<sub>4</sub> and R<sub>4</sub>' are hydrogen.

18. A compound of claim 17 comprising one or more of the following:

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl; and

R<sub>2</sub> is ethyl or propyl;

19. A compound of claim 18 comprising one or more of the following:

R<sub>1</sub> is benzyl; and

R<sub>2</sub> is i-propyl.

20. A compound of claim 1 comprising one or more of the following:

one of T and T' is a covalent bond and the other is a covalent bond or optionally substituted lower alkylene;

R<sub>1</sub> is optionally substituted lower alkyl, optionally substituted aryl, or optionally substituted aralkyl;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>2</sub>' is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>4</sub> and R<sub>4</sub>' together with the carbon to which they are attached form an optionally substituted alkylidene; and

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring.

21. A compound of claim 20 comprising one or more of the following:

T and T' are each a covalent bond;

R<sub>1</sub> is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chlorofluorophenyl,

methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl;

$R_2$  is hydrogen;

$R_4$  and  $R_4'$  form an isopropylidene or an ethylidene group.

22. A compound of claim 1 wherein

T and T' are each a covalent bond;

$R_1$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

$R_2$  is hydrogen;

$R_2$  is optionally substituted  $C_1$ - $C_4$  alkyl;

$R_3$  is  $-C(O)R_6$ ;

$R_6$  is optionally substituted phenyl;

$R_4$  and  $R_4'$  are independently chosen from hydrogen and optionally substituted lower alkyl;

$R_5$  is  $R_{16}$ -alkylene-; and

$R_{16}$  is amino,  $C_1$ - $C_4$  alkylamino-, di( $C_1$ - $C_4$  alkyl)amino-,  $C_1$ - $C_4$  alkoxy-, hydroxyl, or N-heterocyclyl.

23. A compound of claim 1 wherein

T and T' are each a covalent bond;

$R_1$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

$R_2$  is hydrogen;

$R_2$  is optionally substituted  $C_1$ - $C_4$  alkyl;

$R_3$  is  $-C(O)R_6$ ;

$R_6$  is optionally substituted phenyl;

$R_4$  and  $R_4'$  together with the carbon to which they are attached form an optionally substituted alkylidene;

R<sub>5</sub> is R<sub>16</sub>-alkylene-; and

R<sub>16</sub> is amino, C<sub>1</sub>-C<sub>4</sub> alkylamino-, di(C<sub>1</sub>-C<sub>4</sub> alkyl)amino-, C<sub>1</sub>-C<sub>4</sub> alkoxy-, hydroxyl, or N-heterocyclyl.

24. A compound of claim 1 wherein

T and T' are each a covalent bond;

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle; and

R<sub>4</sub> and R<sub>4</sub>' are independently chosen from hydrogen and optionally substituted lower alkyl.

25. A compound of claim 1 wherein

T and T' are each a covalent bond;

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle; and

R<sub>4</sub> and R<sub>4</sub>' together with the carbon to which they are attached form an optionally substituted alkylidene.

26. A compound of claim 1 wherein

T and T' are each a covalent bond;

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted imidazole ring; and

R<sub>4</sub> and R<sub>4</sub>' are independently chosen from hydrogen and optionally substituted lower alkyl.

27. A compound of claim 1 wherein

T and T' are each a covalent bond;

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted imidazole ring; and

R<sub>4</sub> and R<sub>4</sub>' together with the carbon to which they are attached form an optionally substituted alkylidene;

28. A compound of claim 1 wherein

T and T' are each a covalent bond;

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted imidazoline ring; and

R<sub>4</sub> and R<sub>4</sub>' are independently chosen from hydrogen and optionally substituted lower alkyl.

29. A compound of claim 1 wherein

T and T' are each a covalent bond;

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted imidazoline ring; and

R<sub>4</sub> and R<sub>4</sub>' together with the carbon to which they are attached form an optionally substituted alkylidene.

30. A compound of claim 1 wherein

T and T' are each a covalent bond;

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted diazepinone ring; and

R<sub>4</sub> and R<sub>4</sub>' are independently chosen from hydrogen and optionally substituted lower alkyl.

31. A compound of claim 1 wherein

T and T' are each a covalent bond;

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted diazepinone ring; and

R<sub>4</sub> and R<sub>4</sub>' together with the carbon to which they are attached form an

optionally substituted alkylidene.

32. A compound of claim 1 wherein

T and T' are each a covalent bond;

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted piperazine or diazepam ring; and

R<sub>4</sub> and R<sub>4</sub>' are independently chosen from hydrogen and optionally substituted lower alkyl.

33. A compound of claim 1 wherein

T and T' are each a covalent bond;

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted piperazine or diazepam ring; and

R<sub>4</sub> and R<sub>4</sub>' together with the carbon to which they are attached form an optionally substituted alkylidene.

34. A compound of claim 1 that is

N-(3-amino-propyl)-N-[1-(4-benzyl-5-oxo-5,6-dihydro-4H-[1,2,4]oxadiazin-3-yl)-2-methyl-propyl]-4-methyl-benzamide;

N-(3-amino-propyl)-N-[1-(4-benzyl-6-isopropylidene-5-oxo-5,6-dihydro-4H-[1,2,4]oxadiazin-3-yl)-2-methyl-propyl]-4-methyl-benzamide; or

N-(3-Amino-propyl)-N-[1-(4-benzyl-6-ethylidene-5-oxo-5,6-dihydro-4H-

[1,2,4]oxadiazin-3-yl)-2-methyl-propyl]-4-methyl-benzamide,

or a pharmaceutically acceptable salt thereof, a pharmaceutically acceptable solvate thereof, or a pharmaceutically acceptable solvate of a pharmaceutically acceptable salt thereof.

35. A compound of any of the above claims wherein the stereogenic center to which  $R_2$  and  $R_2'$  is attached is of the R configuration.

36. A composition comprising a pharmaceutical excipient and a compound, salt, or solvate thereof of any one of claims 1-34.

37. A composition according to claim 36, wherein said composition further comprises a chemotherapeutic agent other than a compound of Formula I or a pharmaceutical salt or solvate thereof.

38. A composition according to claim 37 wherein said chemotherapeutic agent is a taxane, a vinca alkaloid, or a topoisomerase I inhibitor.

39. A method of modulating KSP kinesin activity which comprises contacting said kinesin with an effective amount of a compound according to any one of claims 1 to 34, or a pharmaceutically acceptable salt or solvate thereof.

40. A method of inhibiting KSP which comprises contacting said kinesin with an effective amount of a compound according to any one of claims 1 to 34, or a pharmaceutically acceptable salt or solvate thereof.

41. A method for the treatment of a cellular proliferative disease comprising administering to a patient in need thereof a compound according to any one of claims 1-34, or a pharmaceutically acceptable salt or solvate thereof.

42. A method for the treatment of a cellular proliferative disease comprising administering to a patient in need thereof a composition according to any one of claims 36-38.
43. A method according to claim 41 or claim 42 wherein said disease is selected from cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders, and inflammation.
44. The use, in the manufacture of a medicament for treating cellular proliferative disease, of a compound according to any one of claims 1-34, or a pharmaceutically acceptable salt or solvate thereof.
45. The use of a compound as defined in claim 44 for the manufacture of a medicament for treating a disorder associated with KSP kinesin activity.